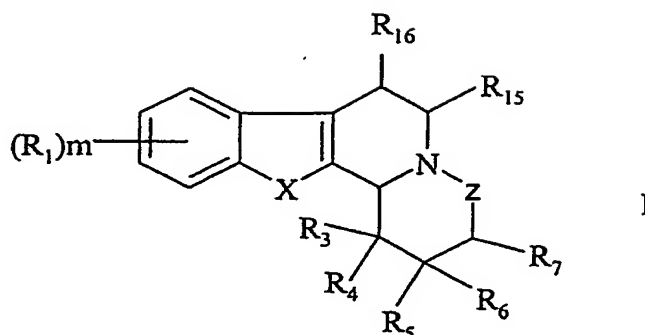


CLAIMS

60

1. Use of a compound of formula I,



I

5 wherein,

X is $\text{CR}_2\text{R}_2'$, O, S or NR_2 ;

Z is $-\text{CHR}_8-(\text{CH}_2)_n-$ or a single bond;

R_1 is hydroxy, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halogen, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy-CO-, CN, NO_2 , NH_2 , mono- or di (C_1-C_6) alkylamino or carboxyl;

10 R_2 and R_2' are independently H, hydroxy or (C_1-C_6) alkyl or R_2 and R_2' form, together with the carbon ring atoms to which they are attached, a carbonyl group;

R_3 is H, hydroxy, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_3-C_7) cycloalkyl, (C_3-C_7) cycloalkyl (C_1-C_6) alkyl, aryl, aryl (C_1-C_6) alkyl, aryloxy, aryl (C_1-C_6) alkoxy, aryloxy (C_1-C_6) alkyl, aryl (C_1-C_6) alkoxy (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, NH_2 , amino (C_1-C_6) alkyl, mono- or di (C_1-C_6) alkylamino, mono- or di (C_1-C_6) alkylamino (C_1-C_6) alkyl, (C_1-C_6) alkyl-CO-, (C_1-C_6) alkyl-CO-O-, (C_1-C_6) alkyl-CO-O- (C_1-C_6) alkyl, (C_1-C_6) alkoxy-CO-, (C_1-C_6) alkoxy-CO- (C_1-C_6) alkyl, (C_1-C_6) alkoxy-CO- (C_1-C_6) alkoxy (C_1-C_6) alkyl, carbamoyl, mono- or di $(\text{C}_1-$

20 $\text{C}_6)$ alkylcarbamoyl, carboxyl or (C_1-C_6) alkyl-S- (C_1-C_6) alkyl, wherein the said (C_3-C_7) cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C_1-C_6) alkyl, halogen, (C_1-C_6) alkoxy, NH_2 , CN or NO_2 , or one of R_3 or R_4 and R_6 together form a bond between the ring atoms to which they are attached;

25 R_4 is H, hydroxy, (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy or (C_1-C_6) alkoxy (C_1-C_6) alkyl;

R_5 is H, hydroxy, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₃-C₇)cycloalkyl, (C₃-C₇)cycloalkyl(C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl, aryloxy, aryl(C₁-C₆)alkoxy, aryloxy(C₁-C₆)alkyl, aryl(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl, carboxyl or (C₁-C₆)alkyl-S-(C₁-C₆)alkyl, wherein the said (C₃-C₇)cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C₁-C₆)alkyl, halogen, (C₁-C₆)alkoxy, NH₂, CN or NO₂, or R₄ and R₅ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated carbocyclic ring substituted with 1 to 3 substituent(s) R₉ each independently being hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

R_6 is H, hydroxy, (C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or R₆ forms a bond between the ring atom to which it is attached and the ring atom to which R₇ is attached;

R_7 is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl;

R_8 is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or, only when n is 0, R₇ and R₈ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated carbocyclic ring unsubstituted or substituted with 1 to 3 substituent(s) R₁₀ each independently being hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

R_{15} is H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, hydroxy(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-,

(C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or carboxyl;

R₁₆ is H or (C₁-C₆)alkyl;

5 R₇ and R₈ are attached to the carbon ring atoms, which are adjacent;

m is 0 to 2; and

n is 0 or 1,

or a pharmaceutically acceptable salt or ester thereof, with the proviso, that the compound is not 1,2,3,4,5,10b-hexahydro-10-thia-3a-aza-cyclopent[a]fluorine,

10 for the manufacture of a medicament for the treatment of diseases or conditions where antagonists of alpha-2 adrenoceptors are indicated to be useful.

2. The use of a compound according to claim 1, wherein X is NR₂.

15 3. The use of a compound according to any one of claims 1 or 2, wherein m is 0, n is 0, R₂ is H, R₃ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₃-C₇)cycloalkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO- or (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, R₄ is H, hydroxy, (C₁-C₆)alkyl or hydroxy(C₁-C₆)alkyl, R₅ is H, hydroxy, (C₁-C₆)alkyl or (C₁-C₆)alkoxy, R₆ is H or (C₁-C₆)alkyl and R₇ is H, (C₁-C₆)alkyl or hydroxy(C₁-C₆)alkyl.

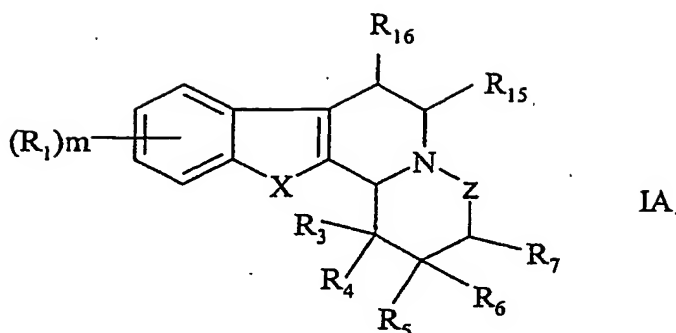
4. The use of a compound according to any one of claims 1 to 3, wherein R₃ is H or (C₁-C₆)alkyl and R₄ is hydroxy or hydroxy(C₁-C₆)alkyl.

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5. The use of a compound according to any one of claims 1 or 2, wherein R₄ and R₅ form, together with the carbon ring atoms to which they are attached, a condensed six membered saturated carbocyclic ring.

30 6. The use of a compound according to any one of claims 1 or 2, wherein R₄ and R₆ together form a bond between the ring atoms to which they are attached or R₆ forms a bond between the ring atom to which it is attached and the ring atom to which R₇ is attached.

7. The use of a compound according to any one of claims 1 to 5, wherein the compound is 1 α -ethyl-1,2,3,4,6,7,12,12b β -octahydro-indolo[2,3-*a*]quinolizin-1-ol, (1 β -ethyl-1,2,3,4,6,7,12,12b α -octahydro-indolo[2,3-*a*]quinolizin-1-yl)-methanol, 1 α -Methyl-1,2,3,4,6,7,12,12b β -octahydroindolo[2,3-*a*]quinolizin-1-ol, (1 α -Methyl-1,2,3,4,6,7,12,12b β -octahydroindolo[2,3-*a*]quinolizin-1-yl)-methanol or 3,4,4a β ,5,6,7,8,13,13b β ,13c α -decahydro-2H-6a,13-diaza-indeno[1,2-*c*]phenanthren-1-one.
8. The use of a compound according to claim 1, wherein X is CR₂R₂'.
9. The use of a compound according to claim 1, wherein X is O
10. The use of a compound according to claim 1, wherein X is S.
11. The use of a compound according to any one of claims 1 to 10, for the manufacture of a medicament for the treatment of a disorder of the central nervous system, diabetes, orthostatic hypotension, lipolytic disorders, Raynaud's disease or male and female sexual dysfunctions.
12. The use according to claim 11, wherein the disorder of the central nervous system is depression, anxiety disorders, post-traumatic stress disorder, schizophrenia, Parkinson's disease, or another movement disorder.
13. The use of a compound according to any one of claims 1 to 10 for the manufacture of a medicament for use as a selective alpha-2C antagonist.
14. The use according to claim 13 for the manufacture of a medicament for the treatment of mental disorders propagated by stress, Parkinson's disease, depression, negative symptoms of schizophrenia, attention deficit hyperactivity disorder, post-traumatic stress-disorder, or anxiety disorders.
15. A compound of formula IA



wherein,

X is $\text{CR}_2\text{R}_2'$, O or S;

Z is $-\text{CHR}_8-(\text{CH}_2)_n-$ or a single bond;

5 R_1 is hydroxy, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halogen, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy- $\text{CO}-$, CN, NO_2 , NH_2 , mono- or di (C_1-C_6) alkylamino or carboxyl;

R_2 and R_2' are independently H, hydroxy or (C_1-C_6) alkyl or R_2 and R_2' form, together with the carbon ring atoms to which they are attached, a carbonyl group;

R_3 is H, hydroxy, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_3-C_7) cycloalkyl, (C_3-C_7) cycloalkyl (C_1-C_6) alkyl, aryl, aryl (C_1-C_6) alkyl, aryloxy, aryl (C_1-C_6) alkoxy, aryloxy (C_1-C_6) alkyl, aryl (C_1-C_6) alkoxy (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, NH_2 , amino (C_1-C_6) alkyl, mono- or di (C_1-C_6) alkylamino, mono- or di (C_1-C_6) alkylamino (C_1-C_6) alkyl, (C_1-C_6) alkyl- $\text{CO}-$, (C_1-C_6) alkyl- $\text{CO}-\text{O}-$, (C_1-C_6) alkyl-
 15 $\text{CO}-\text{O}-$ (C_1-C_6) alkyl, (C_1-C_6) alkoxy- $\text{CO}-$, (C_1-C_6) alkoxy- $\text{CO}-$ (C_1-C_6) alkyl, (C_1-C_6) alkoxy- $\text{CO}-$ (C_1-C_6) alkoxy (C_1-C_6) alkyl, carbamoyl, mono- or di (C_1-C_6) alkylcarbamoyl, carboxyl or (C_1-C_6) alkyl-S- (C_1-C_6) alkyl, wherein the said (C_3-C_7) cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C_1-C_6) alkyl, halogen, (C_1-C_6) alkoxy, NH_2 , CN or
 20 NO_2 , or one of R_3 or R_4 and R_6 together form a bond between the ring atoms to which they are attached;

R_4 is H, hydroxy, (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy or (C_1-C_6) alkoxy (C_1-C_6) alkyl;

R_5 is H, hydroxy, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_3-C_7) cycloalkyl, (C_3-C_7) cycloalkyl (C_1-C_6) alkyl, aryl, aryl (C_1-C_6) alkyl, aryloxy, aryl (C_1-C_6) alkoxy, aryloxy (C_1-C_6) alkyl, aryl (C_1-C_6) alkoxy (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, (C_1-C_6) alkyl- $\text{CO}-\text{O}-$, (C_1-C_6) alkyl- $\text{CO}-\text{O}-$
 25

- (C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl, carboxyl or (C₁-C₆)alkyl-S-(C₁-C₆)alkyl, wherein the said (C₃-C₇)cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C₁-C₆)alkyl, halogen, (C₁-C₆)alkoxy, NH₂, CN or NO₂, or R₄ and R₅ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated carbocyclic ring substituted with 1 to 3 substituent(s) R₉ each independently being hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

- R₆ is H, hydroxy, (C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or R₆ forms a bond between the ring atom to which it is attached and the ring atom to which R₇ is attached;

R₇ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl;

- R₈ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or, only when n is 0, R₇ and R₈ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated carbocyclic ring unsubstituted or substituted with 1 to 3 substituent(s) R₁₀ each independently being hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

- R₁₅ is H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, hydroxy(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or carboxyl;

R₁₆ is H or (C₁-C₆)alkyl;

R_7 and R_8 are attached to the carbon ring atoms, which are adjacent;

m is 0 to 2; and

n is 0 or 1,

or a pharmaceutically acceptable salt or ester thereof, with the provisos, that

5 a) when X is O, m is 0 and n is 0, then R_3 - R_8 are not all simultaneously hydrogen;

b) the compound is not 1,2,3,4,5,10b-hexahydro-10-thia-3a-aza-cyclopenta[a]fluorene; 1,3,4,5,6,11b-hexahydro-2H-11-thia-4a-aza-benzo[a]fluorene; 1-(1,3,4,5,6,11b-hexahydro-2H-11-thia-4a-aza-benzo[a]fluoren-1-yl)-ethanone or 1,3,4,5,6,11b-hexahydro-2H-11-thia-4a-aza-benzo[a]fluorene-1-carboxylic acid methyl ester.

10

16. A compound according to claim 15, wherein X is CR_2R_2' .

15 17. A compound according to claim 15, wherein X is O.

18. A compound according to claim 15, wherein X is S.

19. A compound according to any one of claims 15 to 18, wherein R_3 is hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO- or (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl and R_4 is H, (C₁-C₆)alkyl or hydroxy(C₁-C₆)alkyl.

20

20. A compound according to any one of claims 15 to 19, wherein R_3 is hydroxy, hydroxy(C₁-C₆)alkyl or (C₁-C₆)alkoxy(C₁-C₆)alkyl and R_4 is (C₁-C₆)alkyl.

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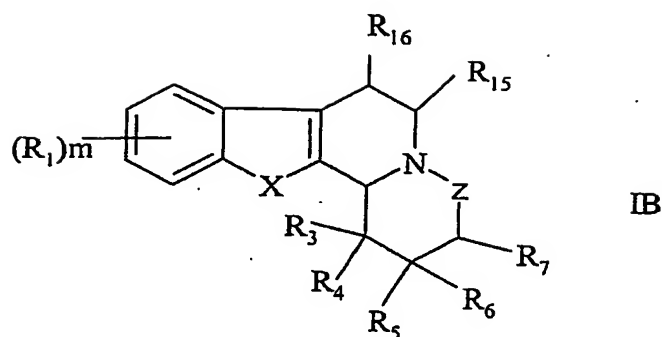
21. A compound according to any one of claims 15 to 18, wherein R_4 and R_5 form, together with the carbon ring atoms to which they are attached, a condensed six membered saturated carbocyclic ring.

30

22. A compound according to any one of claims 15 to 21, wherein the compound is 1 α -Methyl-1,3,4,5,6,11b-hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-ol, (1 α -Methyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-yl)-

- methanol, (-)-(1 α -Methyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-yl)-methanol, (+)-(1 α -Methyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-yl)-methanol, 1 α -Isopropyl-1,3,4,5,6,11b-Hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-ol, 1 α -Ethyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-ol, (1 α -Ethyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-yl)-methanol, 1-Methyl-1 α ,3,4,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene, (1-Hydroxymethyl-1,3,4,5,6,11b-hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-yl)-methanol, 1-Methoxymethyl-1 α -methyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene, (-)-1-Methoxymethyl-1 α -methyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene, (+)-1-Methoxymethyl-1 α -methyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene, 1 α -Methyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene-1-carboxylic acid ethyl ester, 1-Ethoxymethyl-1 α -methyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene, (1 α -Methyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-yl)-methanol, (-)-(1 α -Methyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-yl)-methanol, (+)-(1 α -Methyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-yl)-methanol, 1 α -Ethyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene-1-carboxylic methyl ester, 1-Methoxymethyl-1 α -methyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene, (-)-1-Methoxymethyl-1 α -methyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene, (+)-1-Methoxymethyl-1 α -methyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene, (1 α -Ethyl-1,3,4,5,6,11b α -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluorene-1-yl)-methanol, acetic acid 1 α -Methyl-1,3,4,5,6,11b β -hexahydro-2H-11-oxa-4a-aza-benzo[a]fluoren-1-ylmethyl ester or (1 α -Methyl-1,2,3,4,6,7,12,12b α -octahydroindeno[2,1-a]quinolizin-1-yl)-methanol.

23. A compound of formula IB



wherein,

X is NR₂;

5 R₂ is (C₁-C₆)alkyl;

Z is -CHR₈-(CH₂)_n- or a single bond;

R₁ is hydroxy, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, halogen, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, CN, NO₂, NH₂, mono- or di(C₁-C₆)alkylamino or carboxyl;

10 R₃ is H, hydroxy, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, hydroxy(C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₃-C₇)cycloalkyl, (C₃-C₇)cycloalkyl(C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl, aryloxy, aryl(C₁-C₆)alkoxy, aryloxy(C₁-C₆)alkyl, aryl(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, NH₂, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkyl-
15 CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl, carboxyl or (C₁-C₆)alkyl-S-(C₁-C₆)alkyl, wherein the said (C₃-C₇)cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C₁-C₆)alkyl, halogen, (C₁-C₆)alkoxy, NH₂, CN or
20 NO₂, or one of R₃ or R₄ and R₆ together form a bond between the ring atoms to which they are attached;

R₄ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl;

25 R₅ is H, hydroxy, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₃-C₇)cycloalkyl, (C₃-C₇)cycloalkyl(C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl, aryloxy, aryl(C₁-C₆)alkoxy, aryloxy(C₁-C₆)alkyl, aryl(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkyl-CO-O-

(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl, carboxyl or (C₁-C₆)alkyl-S-(C₁-C₆)alkyl, wherein the said (C₃-C₇)cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C₁-C₆)alkyl, halogen, (C₁-C₆)alkoxy, NH₂, CN or NO₂, or R₄ and R₅ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated carbocyclic ring substituted with 1 to 3 substituent(s) R₉ each independently being hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

R₆ is H, hydroxy, (C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or R₆ forms a bond between the ring atom to which it is attached and the ring atom to which R₇ is attached;

R₇ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl;

R₈ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or, only when n is 0, R₇ and R₈ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated carbocyclic ring unsubstituted or substituted with 1 to 3 substituent(s) R₁₀ each independently being hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

R₁₅ is H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, hydroxy(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or carboxyl;

R₁₆ is H or (C₁-C₆)alkyl;

R_7 and R_8 are attached to the carbon ring atoms, which are adjacent;

m is 0 to 2; and

n is 0 or 1,

or a pharmaceutically acceptable salt and ester thereof, with the provisos, that

- 5 a) when m is 0 or R_1 is methoxy and R_4 is H or ethyl, then R_3 is not methoxy-CO;
- b) the compound is not 12-Methyl-1,2,3,4,6,7,12,12b-octahydro-indolo[2,3-*a*]quinolizine; 1-Ethyl-12-methyl-1,2,3,4,6,7,12,12b-octahydro-indolo[2,3-*a*]quinolizine; 2,3-Diethyl-12-methyl-1,2,3,4,6,7,12,12b-octahydro-indolo[2,3-*a*]quinolizine; 12-Methyl-1,2,3,4,6,7,12,12b-octahydro-indolo[2,3-*a*]quinolizin-1-ol; 2-(1-Ethyl-12-methyl-1,2,3,4,6,7,12,12b-octahydro-indolo[2,3-*a*]quinolizin-1-yl)-ethanol; 11-Methyl-2,3,5,6,11,11b-hexahydro-1H-indolizino[8,7-*b*]indole; (11-Methyl-2,3,5,6,11,11b-hexahydro-1H-indolizino[8,7-*b*]indol-1-yl)-methanol, (1,11-Diethyl-2,3,5,6,11,11b-hexahydro-1H-indolizino[8,7-*b*]indol-1-yl)-methanol or 3-(1-ethyl-12-methyl-1,2,3,4,6,7,12,12b-octahydro-indolo[2,3-*a*]quinolizin-1-yl)-propionic acid methyl ester.
- 10
- 15

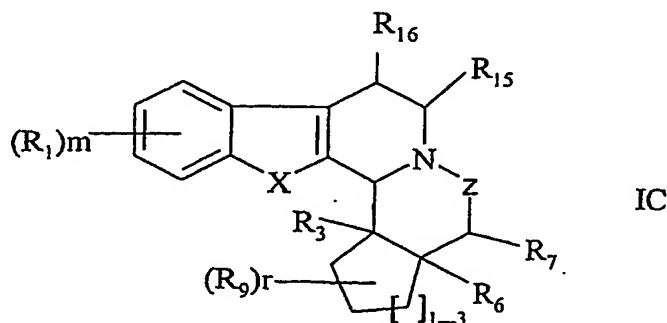
24. A compound according to claim 23, wherein R_3 is hydroxy, (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkyl or (C_1-C_6) alkoxy (C_1-C_6) alkyl and R_4 is H, (C_1-C_6) alkyl or hydroxy (C_1-C_6) alkyl.

20

25. A compound according to any one of claims 23 or 24, wherein the compound is 1 α -Ethyl-12-methyl-1,2,3,4,6,7,12b β -octahydro-indolo[2,3-*a*]quinolizin-1-ol or 1 α -Ethyl-12-ethyl-1,2,3,4,6,7,12b β -octahydro-indolo[2,3-*a*]quinolizin-1-ol.

25

26. A compound of formula IC



wherein,

X is NR_2 ;

R_2 is H;

5 Z is $-\text{CHR}_8-(\text{CH}_2)_n-$ or a single bond;

n is 0;

R_1 is hydroxy, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halogen, halo (C_1-C_6) alkyl, (C_1-C_6) alkoxy-CO-, CN, NO_2 , NH_2 , mono- or di (C_1-C_6) alkylamino or carboxyl;

10 R_3 is H, hydroxy, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_3-C_7) cycloalkyl, (C_3-C_7) cycloalkyl (C_1-C_6) alkyl, aryl, aryl (C_1-C_6) alkyl, aryloxy, aryl (C_1-C_6) alkoxy, aryloxy (C_1-C_6) alkyl, aryl (C_1-C_6) alkoxy (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, NH_2 , amino (C_1-C_6) alkyl, mono- or di (C_1-C_6) alkylamino, mono- or di (C_1-C_6) alkylamino (C_1-C_6) alkyl, (C_1-C_6) alkyl-CO-, (C_1-C_6) alkyl-CO-O-, (C_1-C_6) alkyl-CO-O- (C_1-C_6) alkyl, (C_1-C_6) alkoxy-CO-, (C_1-C_6) alkoxy-CO- (C_1-C_6) alkyl, (C_1-C_6) alkoxy-CO- (C_1-C_6) alkoxy (C_1-C_6) alkyl, carbamoyl, mono- or di (C_1-C_6) alkylcarbamoyl, carboxyl or (C_1-C_6) alkyl-S- (C_1-C_6) alkyl, wherein the said (C_3-C_7) cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C_1-C_6) alkyl, halogen, (C_1-C_6) alkoxy, NH_2 , CN or
20 NO_2 , or R_3 and R_6 together form a bond between the ring atoms to which they are attached;

R_6 is H, hydroxy, (C_1-C_6) alkyl, (C_1-C_6) alkoxy or (C_1-C_6) alkoxy (C_1-C_6) alkyl or R_6 forms a bond between the ring atom to which it is attached and the ring atom to which R_7 is attached;

25 R_7 is H, hydroxy, (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy or (C_1-C_6) alkoxy (C_1-C_6) alkyl;

R_8 is H, hydroxy, (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy or (C_1-C_6) alkoxy (C_1-C_6) alkyl or, only when n is 0; R_7 and R_8 form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated
30 carbocyclic ring unsubstituted or substituted with 1 to 3 substituent(s) R_{10} each independently being hydroxy, (C_1-C_6) alkyl, halogen, NH_2 , NO_2 , (C_3-C_7) cycloalkyl, hydroxy (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, amino (C_1-C_6) alkyl, mono- or di (C_1-C_6) alkylamino, mono- or di (C_1-C_6) alkylamino (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, carboxyl, (C_1-C_6) alkyl-CO-, (C_1-C_6) alkyl-CO-O-, $(\text{C}_1-$

C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

R₉ is hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

R₁₅ is H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, hydroxy(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or carboxyl;

R₁₆ is H or (C₁-C₆)alkyl;

R₇ and R₈ are attached to the carbon ring atoms, which are adjacent;

m is 0 to 2; and

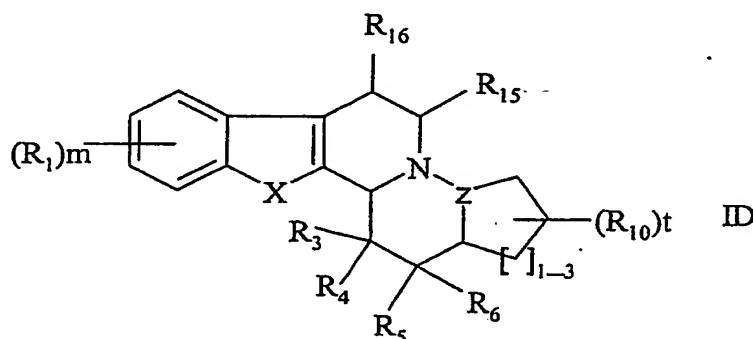
r is 1 to 3;

or a pharmaceutically acceptable salt and ester thereof, with the provisos, that the compound is not 10-methyl-5,7,7a,8,9,10,11,11a,11b,12-decahydro-6H-6a,12-diaza-indeno[1,2-a]fluorene; 3-hydroxy-1,2,3,4,4a,5,6,7,8,13,13b,13c-dodecahydro-6a,13-diaza-indeno[1,2-c]phenanthrene-4-carboxylic acid methyl ester; methyl-3-ethyl-1,2,3a,4,6,7,12b,12c-octahydro-3H,12H-indolo[2,3-g]cyclopent[a]indolizine-2-carboxylate; methyl-1,2,3a,4,6,7,12b,12c-octahydro-3H,12H-indolo[2,3-g]cyclopent[a]indolizine-2-carboxylate or 12c-ethyl-1,3a,4,6,7,12b,12c-octahydro-cyclopent[1,2]indolizino[8,7-b]indol-3(2H)-one.

27. A compound according to claim 26, wherein r is 1 and R₃ is H, hydroxy, (C₁-C₆)alkyl or hydroxy(C₁-C₆)alkyl.

28. A compound according to any one of claims 26 or 27, wherein the compound is 3,4,4aβ,5,6,7,8,13,13bβ,13cα-decahydro-2H-6a,13-diaza-indeno[1,2-c]phenanthren-1-one, 1,2,3,4,5,6,7,8,13,13b-decahydro-6a,13-diaza-indeno[1,2-

29. A compound of formula ID



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n is 0;
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R₃ is H, hydroxy, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, hydroxy(C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₃-C₇)cycloalkyl, (C₃-C₇)cycloalkyl(C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl, aryloxy, aryl(C₁-C₆)alkoxy, aryloxy(C₁-C₆)alkyl, aryl(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, NH₂, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl, carboxyl or (C₁-C₆)alkyl-S-(C₁-C₆)alkyl, wherein the said (C₃-C₇)cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C₁-C₆)alkyl, halogen, (C₁-C₆)alkoxy, NH₂, CN or

NO₂, or one of R₃ or R₄ and R₆ together form a bond between the ring atoms to which they are attached;

R₄ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl;

- 5 R₅ is H, hydroxy, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₃-C₇)cycloalkyl, (C₃-C₇)cycloalkyl(C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl, aryloxy, aryl(C₁-C₆)alkoxy, aryloxy(C₁-C₆)alkyl, aryl(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or
- 10 di(C₁-C₆)alkylcarbamoyl, carboxyl or (C₁-C₆)alkyl-S-(C₁-C₆)alkyl, wherein the said (C₃-C₇)cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C₁-C₆)alkyl, halogen, (C₁-C₆)alkoxy, NH₂, CN or NO₂, or R₄ and R₅ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated carbocyclic ring substituted
- 15 with 1 to 3 substituent(s) R₈ each independently being hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl mono- or di(C₁-C₆)alkylcarbamoyl or oxo;
- 20

R₆ is H, hydroxy, (C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or R₆ forms a bond between the ring atom to which it is attached and the ring atom to which R₇ is attached;

- 25 R₁₀ is hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

- 30 R₁₅ is H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, hydroxy(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-

C₆alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl or carboxyl;

R₁₆ is H or (C₁-C₆)alkyl;

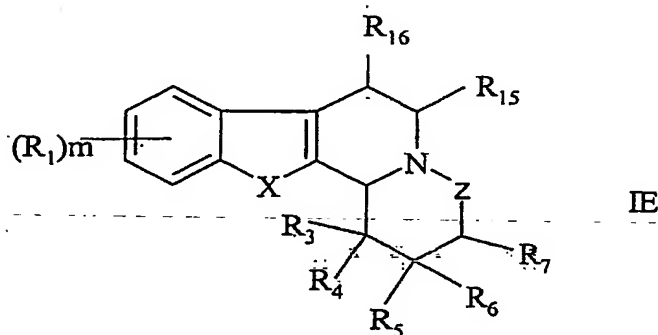
R₇ and R₈ are attached to the carbon ring atoms, which are adjacent;

5 m is 0 to 2; and

t is 0 to 3;

or a pharmaceutically acceptable salt and ester thereof, with the provisos, that the compound is not 1,2,3,4,4a,5,6,11,11b,12,13,13a-dodecahydro-4b,11-diaza-indeno[2,1-a]phenanthrene; 1,2,3,4,4a,5,6,11,11b,12-decahydro-4b,11-diaza-indeno[2,1-a]phenanthrene; 9-methoxy-1,2,3,4,4a,5,6,11,11b,12-decahydro-4b,11-diaza-indeno[2,1-a]phenanthrene or 1-hydroxy-1,2,3,4,4a,5,6,11,11b,12,13,13a-dodecahydro-4b,11-diaza-indeno[2,1-a]phenanthrene-2-carboxylic acid methyl ester.

30. A compound of formula IE



IE

15

wherein,

X is NR₂;

R₂ is H;

Z is -CHR₈-(CH₂)_n- or a single bond;

20

R₁ is hydroxy, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, halogen, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, CN, NO₂, NH₂, mono- or di(C₁-C₆)alkylamino or carboxyl;

R₃ is H, hydroxy, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, hydroxy(C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₃-C₇)cycloalkyl, (C₃-C₇)cycloalkyl(C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl, aryloxy,

25

aryl(C₁-C₆)alkoxy, aryloxy(C₁-C₆)alkyl, aryl(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, NH₂, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-

C₆alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl, carboxyl or (C₁-C₆)alkyl-S-(C₁-C₆)alkyl, wherein the said (C₃-C₇)cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C₁-C₆)alkyl, halogen, (C₁-C₆)alkoxy, NH₂, CN or NO₂, or one of R₃ or R₄ and R₆ together form a bond between the ring atoms to which they are attached;

R₄ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl;

R₅ is H, hydroxy, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₃-C₇)cycloalkyl, (C₃-C₇)cycloalkyl(C₁-C₆)alkyl, aryl, aryl(C₁-C₆)alkyl, aryloxy, aryl(C₁-C₆)alkoxy, aryloxy(C₁-C₆)alkyl, aryl(C₁-C₆)alkoxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkyl-CO-O-(C₁-C₆)alkyl, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkoxy(C₁-C₆)alkyl, carbamoyl, mono- or di(C₁-C₆)alkylcarbamoyl, carboxyl or (C₁-C₆)alkyl-S-(C₁-C₆)alkyl, wherein the said (C₃-C₇)cycloalkyl or aryl is unsubstituted or substituted with 1 or 2 substituents each independently being hydroxy, (C₁-C₆)alkyl, halogen, (C₁-C₆)alkoxy, NH₂, CN or NO₂, or R₄ and R₅ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated carbocyclic ring substituted with 1 to 3 substituent(s) R₉, each independently being hydroxy, (C₁-C₆)alkyl, halogen, NH₂, NO₂, (C₃-C₇)cycloalkyl, hydroxy(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, amino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, carboxyl, (C₁-C₆)alkyl-CO-, (C₁-C₆)alkyl-CO-O-, (C₁-C₆)alkoxy-CO-, (C₁-C₆)alkoxy-CO-(C₁-C₆)alkyl, carbamoyl mono- or di(C₁-C₆)alkylcarbamoyl or oxo;

R₆ is H, hydroxy, (C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or R₆ forms a bond between the ring atom to which it is attached and the ring atom to which R₇ is attached;

R₇ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl;

R₈ is H, hydroxy, (C₁-C₆)alkyl, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy or (C₁-C₆)alkoxy(C₁-C₆)alkyl or, only when n is 0, R₇ and R₈ form, together with the carbon ring atoms to which they are attached, a condensed five to seven membered saturated

carbocyclic ring unsubstituted or substituted with 1 to 3 substituent(s) R_{10} each independently being hydroxy, (C_1-C_6) alkyl, halogen, NH_2 , NO_2 , (C_3-C_7) cycloalkyl, hydroxy (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, amino (C_1-C_6) alkyl, mono- or di (C_1-C_6) alkylamino, mono- or di (C_1-C_6) alkylamino (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, carboxyl, (C_1-C_6) alkyl-CO-, (C_1-C_6) alkyl-CO-O-, (C_1-C_6) alkoxy-CO-, (C_1-C_6) alkoxy-CO- (C_1-C_6) alkyl, carbamoyl, mono- or di (C_1-C_6) alkylcarbamoyl or oxo;

R_{15} is H, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, hydroxy (C_1-C_6) alkyl, (C_1-C_6) alkoxy (C_1-C_6) alkyl, hydroxy (C_1-C_6) alkoxy (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, amino (C_1-C_6) alkyl, mono- or di (C_1-C_6) alkylamino (C_1-C_6) alkyl, (C_1-C_6) alkyl-CO-, (C_1-C_6) alkyl-CO-O- (C_1-C_6) alkyl, (C_1-C_6) alkoxy-CO-, (C_1-C_6) alkoxy-CO- (C_1-C_6) alkyl, (C_1-C_6) alkoxy-CO- (C_1-C_6) alkoxy (C_1-C_6) alkyl, carbamoyl, mono- or di (C_1-C_6) alkylcarbamoyl or carboxyl;

R_{16} is H or (C_1-C_6) alkyl;

R_1 and R_8 are attached to the carbon ring atoms, which are adjacent;

m is 0 to 2; and

n is 1,

or a pharmaceutically acceptable salt and ester thereof, with the proviso, that the compound is not 2,3,4,5,7,8,13,13b-octahydro-2,3-diethyl-1H-azepino[1',2':1,2]pyrido[3,4-*b*]indole; acetic acid 2,3,4,5,7,8,13,13b-octahydro-1H-azepino[1',2':1,2]pyrido[3,4-*b*]indol-2-ylmethyl ester; 2,3,4,5,7,8,13,13b-octahydro-1H-azepino[1',2':1,2]pyrido[3,4-*b*]indole-2-[(phenylmethoxy)methyl] or 2,3,4,5,7,8,13,13b-octahydro-1H-azepino[1',2':1,2]pyrido[3,4-*b*]indole-4-ethyl-2-[(phenylmethoxy)methyl].

31. A compound according to claim 30, wherein the compound is 2,3,4,5,7,8,13,13b-octahydro-1H-azepino[1',2':1,2]pyrido[3,4-*b*]indole.

32. A compound which is 2 β -Methoxy-1,2,3,4,6,7,12,12b α -octahydro-indolo[2,3-*a*]quinolizine, 2 α -methoxy-1,2,3,4,6,7,12,12b α -octahydro-indolo[2,3-*a*]quinolizine, 1 α -Ethyl-2 α -methyl-1,2,3,4,6,7,12,12b β -octahydro-indolo[2,3-*a*]quinolizine-1-ol, 1 α -Isopropyl-1,2,3,4,6,7,12,12b β -octahydro-indolo[2,3-*a*]quinolizine-1-ol, (-)-1 α -isopropyl-1,2,3,4,6,7,12,12b β -octahydroindolo[2,3-

- α]quinolizin-1-ol, (+)-1 α -isopropyl-1,2,3,4,6,7,12,12b β -octahydroindolo[2,3-
 α]quinolizin-1-ol, 1 β -Isopropyl-1,2,3,4,6,7,12,12b β -octahydro-indolo[2,3-
 α]quinolizine, (1 α -Isopropyl-1,2,3,4,6,7,12,12b β -octahydro-indolo[2,3- α]quinolizin-
 1-yl)-methanol, (1 α - *n*-Propyl-1,2,3,4,6,7,12,12b β -octahydro-indolo[2,3-
 5 α]quinolizin-1-yl)-methanol, 2-(1 α ,2,3,4,6,7,12,12b β -Octahydro-indolo[2,3-
 α]quinolizin-1-yl)-butan-2-ol, 1-(1,2 α ,3,4,6,7,12,12b α -Octahydro-indolo[2,3-
 α]quinolizin-2-yl)-propan-1-ol, 2-(1 α ,2,3,4,6,7,12,12b β -Octahydro-indolo[2,3-
 α]quinolizin-1-yl)-propan-2-ol, 1-*s*-Butyl-1,2,3,4,6,7,12,12b β -octahydroindolo[2,3-
 α]quinolizin-1-ol, 1-Cyclohexyl-1,2,3,4,6,7,12,12b β -octahydroindolo[2,3-
 10 α]quinolizin-1-ol, 9-Fluoro-1 α -isopropyl-1,2,3,4,6,7,12,12b β -octahydro-indolo[2,3-
 α]quinolizin-1-ol, (1 α -Methyl-1,2,3,4,6,7,12,12b β -octahydroindolo[2,3- α]quinolizin-
 1-yl)-methanol, (-)-(1 α -Methyl-1,2,3,4,6,7,12,12b β -octahydroindolo[2,3-
 α]quinolizin-1-yl)-methanol, (+)-(1 α -Methyl-1,2,3,4,6,7,12,12b β -
 octahydroindolo[2,3- α]quinolizin-1-yl)-methanol, (1 α -Ethyl-1,2,3,4,6,7,12,12b β -
 15 hexahydroindolo[2,3- α]quinolizin-1-yl)-methanol, 3 β ,4 α -Dimethyl-
 1,2,3,4,6,7,12,12b β -octahydroindolo[2,3- α]quinolizine, (1,2 α ,3,4,6,7,12,12b α -
 Octahydroindolo[2,3- α]quinolizin-2-yl)-propan-2-ol, (1,2 α ,3,4,6,7,12,12b β -
 Octahydroindolo[2,3- α]quinolizin-2-yl)-propan-2-ol, (2 α -Ethyl-1,2,3,4,6,7,12,12b α -
 octahydroindolo[2,3- α]quinolizin-2-yl)-methanol, (2 α -Ethyl-1,2,3,4,6,7,12,12b β -
 20 octahydroindolo[2,3- α]quinolizin-2-yl)-methanol, (1- α -Ethyl-1,2,3,4,6,7,12,12b β -
 octahydroindolo[2,3- α]quinolizin-1-ylmethoxy)-acetic acid ethyl ester, 1-(2 α -ethyl-
 1,2,3,4,6,7,12,12b α -octahydro-indolo[2,3- α]quinolizin-2-yl)-ethanone, 1-(2 α -ethyl-
 1,2,3,4,6,7,12,12b α -octahydro-indolo[2,3- α]quinolizin-2-yl)-ethanol, 2-(2 α -ethyl-
 1,2,3,4,6,7,12,12b α -octahydro-indolo[2,3- α]quinolizin-2-yl)-propan-2-ol, 2-(3-ethyl-
 25 1,2 α ,3 α ,4,6,7,12,12b α -octahydro-indolo[2,3- α]quinolizin-2-yl)-propan-2-ol, (3-
 ethyl-2-methyl-1 α ,2 β ,3 β ,4,6,7,12,12b β -octahydro-indolo[2,3- α]quinolizin-1-yl)-
 methanol, 3-ethyl-1,2-dimethyl-1 α ,2 β ,3 β ,4,6,7,12,12b β -octahydro-indolo[2,3-
 α]quinolizine, 1,2-dimethyl-1,2,3,4,6,7,12,12b β -octahydro-indolo[2,3- α]quinolizin-
 1 β -ol, (1-ethyl-2-methyl-1 β ,2 β ,3 β ,4,6,7,12,12b α -octahydro-indolo[2,3- α]quinolizin-
 30 3-yl)-methanol, 1- β -Hydroxymethyl-1-methyl-1,2,3,4,6,7,12,12b β -octahydro-
 indolo[2,3- α]quinolizine-6 β -carboxylic acid methyl ester,

5,6,7,7a β ,8,9,10,11,11a β ,11b α -Decahydro-12-oxa-6a-aza-indeno[1,2-*a*]fluorene,
2,3,4,4a β ,5,6,7,8,13b β ,13c β -Decahydro-1H-13-oxa-6a-aza-indeno[1,2-
c]phenanthrene, 2,3,4,4a β ,5,6,7,8,13b α ,13c β -Decahydro-1H-13-oxa-6a-aza-
indeno[1,2-*c*]phenanthrene, 2,3,4,4a β ,5,6,7,8,13,13b β -decahydro-1H-6a,13-diaza-
5 indeno[1,2-*c*]phenanthren-13c β -ol, (-)-2,3,4,4a β ,5,6,7,8,13,13b β -decahydro-1H-
6a,13-diaza-indeno[1,2-*c*]phenanthren-13c β -ol, (+)-2,3,4,4a β ,5,6,7,8,13,13b β -
decahydro-1H-6a,13-diaza-indeno[1,2-*c*]phenanthren-13c β -ol,
(2,3,4,4a β ,5,6,7,8,13,13b β -Decahydro-1H-6a,13-diaza-indeno[1,2-*c*]phenanthrenyl)-
13c β -methanol or 5,6,7,7a,11,11b,12-Decahydro-6a,12-diaza-indeno[1,2-*a*]fluoren-
10 11a-ol.

33. A pharmaceutical composition comprising at least one compound according
to any one of claims 15 to 32 and a pharmaceutically acceptable diluent, carrier
and/or excipient.

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34. A compound according to any one of claims 15 to 32 for use as a
medicament.

35. A method for the treatment of a disease or condition where an antagonist of
20 alpha-2 adrenoceptors is indicated to be useful, which comprises administering to a
mammal in need of the treatment an effective amount of at least one compound
according to claim 1.